=> file registry
FILE 'REGISTRY' ENTERED AT 14:29:17 ON 24 AUG 2005
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STRUCTURE FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9 DICTIONARY FILE UPDATES: 23 AUG 2005 HIGHEST RN 861509-89-9

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Structure search iteration limits have been increased. See HELP SLIMITS for details.

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=> file caplus FILE 'CAPLUS' ENTERED AT 14:29:21 ON 24 AUG 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

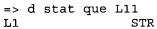
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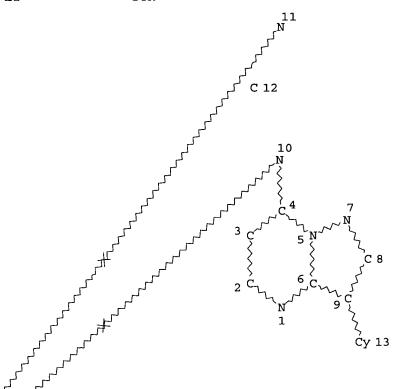
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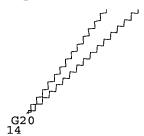
This file contains CAS Registry Numbers for easy and accurate

substance identification.





Page 1-A



Page 2-A REP G20=(1-6) 12-11 12-10

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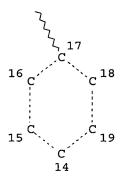
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11 C, N 10 , N 1





Page 2-A

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21
STEREO ATTRIBUTES: NONE
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L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
                         2005:612294 CAPLUS
DOCUMENT NUMBER:
                         143:133390
TITLE:
                         Preparation of pyrazolopyrimidines as CRF receptor
                         antagonists
INVENTOR(S):
                         Luo, Zhiyong; Slee, Deborah; Tellew, John Edward;
                         Williams, John; Zhang, Xiahou
PATENT ASSIGNEE(S):
                         SB Pharmco Puerto Rico Inc., USA; Neurocrine
                         Biosciences Inc.
SOURCE:
                         PCT Int. Appl., 79 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063756	<b>A</b> 1	20050714	WO 2004-IB4293	20041220
W: AE, AG, AL,	AM, AT	, AU, AZ, BA	, BB, BG, BR, BW, BY,	BZ, CA, CH,

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PRIORITY APPLN. INFO:

US 2003-532044P

P 20031222
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#### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [R1 = H, NH2, (un) substituted alkyl, etc.; R2 = NR5R6 or OR7; R3 = H, alkyl or absent if double bond is present; Y = CO, =(CR4)-; R4 = H, thioalkyl, (un) substituted alkyl, etc.; Ar = (un) substituted Ph or pyridyl; Het = (un) substituted heteroaryl; R5 = H, (un) substituted alkyl, heterocycle, etc.; R6 = (un) substituted alkyl, heterocyclealkyl, aryl, etc.; R7 = (un) substituted alkyl, arylalkyl, heteroarylalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as CRF receptor antagonists. Thus, e.g., II was prepared by cyclization of III (preparation given) with Et acetoacetate followed by chlorination and subsequent substitution with isopropylamine. The CRF receptor binding activity of I was evaluated using radioligand binding assay (no data). I as CRF receptor antagonists should prove useful in the treatment of stroke, depression and anxiety. Pharmaceutical compns. comprising I are disclosed.

858521-05-8P 858521-06-9P 858521-07-0P

(preparation of pyrazolopyrimidines as CRF receptor antagonists)
RN 858521-05-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-(1H-imidazol-1-yl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-06-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-7-(2-methyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

RN 858521-07-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-(1H-benzimidazol-1-yl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-08-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-(2-ethyl-1H-imidazol-1-yl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-09-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-7-[2-(1-methylethyl)-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 858521-10-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-(2,4-dimethyl-1H-imidazol-1-yl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 858521-13-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-7-(4-methyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

RN 858521-16-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-(2-ethyl-4-methyl-1H-imidazol-1-yl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-25-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858521-26-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858521-27-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858521-40-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858521-41-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858521-54-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-N,2,5-trimethyl-N-[1-phenyl-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 858521-58-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-89-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl}-2,5-dimethyl-N-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-91-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(1-methyl-1H-pyrrol-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-93-4 CAPLUS

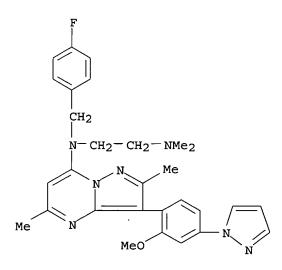
CN INDEX NAME NOT YET ASSIGNED

RN 858521-94-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858522-39-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858522-47-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-methoxyethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(2-methyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

RN 858522-56-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED



RN 858522-57-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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$$N-CH_2-CH_2-NMe_2$$

$$Me$$

$$N$$

$$Me$$

RN 858522-58-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{CH}_2 \\ \text{N-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \text{Me} \\ \text{Me} \\ \text{N} \end{array}$$

RN 858522-59-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858522-65-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

- RN 858522-67-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

- RN 858523-02-1 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

RN 858523-04-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 858523-09-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:216604 CAPLUS

DOCUMENT NUMBER: 142:291339

TITLE: Compositions and methods using small mol. Trp-p8

modulators for the treatment of diseases associated

with Trp-p8 expression

Natarajan, Sateesh K.; Moreno, Ofir; Graddis, Thomas INVENTOR(S):

J.; Duncan, David; Laus, Reiner; Chen, Feng

PATENT ASSIGNEE(S): Dendreon Corporation, USA

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D 1	DATE APPLICATION NO.						NO.	DATE					
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WO	WO 2005020897				A2		2005	0310	WO 2004-US26931						20040820			
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	
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		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	ŲΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
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		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
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		/ - \																

PRIOR OTHER SOURCE(S): MARPAT 142:291339

Provided are small-mol. Trp-p8 modulators, including Trp-p8 agonists and Trp-p8 antagonists, and compns. comprising small-mol. Trp-p8 agonists as well as methods for identifying and characterizing small-mol. Trp-p8 modulators and methods for decreasing viability and/or inhibiting growth of Trp-p8 expressing cells, methods for activating Trp-p8-mediated cation influx, methods for stimulating apoptosis and/or necrosis, and related methods for the treatment of diseases, including cancers such as lung, breast, colon, and/or prostate cancers as well as other diseases, such as benign prostatic hyperplasia, that are associated with Trp-p8 expression. Preparation of selected p-menthane derivs. is described.

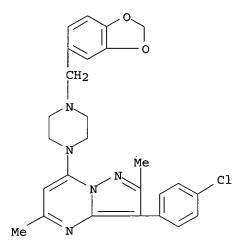
IT 847566-98-7

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small mol. Trp-p8 modulators for treatment of diseases associated with Trp-p8 expression)

RN847566-98-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-[4-(1,3-benzodioxol-5-ylmethyl)-1piperazinyl]-3-(4-chlorophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:247337 CAPLUS

DOCUMENT NUMBER: 134:280853

TITLE: Preparation of amino substituted pyrazolo[1,5-a]-1,5-

pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY

receptor antagonists

INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum,

Charles; Tran, Jennifer; Giangiordano, Mark; Griffith,

David Andrew; Carpino, Philip Albert

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					D I	DATE		1	APPL	ICAT:	ION I	NO.		D.	ATE		
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JP	2003	5103	26		T2	2	2003	0318		JP 2	001-	52654	<del>1</del> 0		2	0000	929	
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	2002															0020		
ZA PRIORIT	2002 Y APP				Α	2	2003	0328			002-2 999-1				_	0020: 9990:		

WO 2000-US26885

W 20000929

OTHER SOURCE(S):

MARPAT 134:280853

GI

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 $R^{7}$ 

The title compds. [I; N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); B = (un)substituted (CH2)n (n = 0-3); R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5, R6 = H, alkyl, cycloalkyl, etc.] that are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II was described. The NPY1 receptor binding affinity for the compds. I, expressed as a Ki, ranges from 0.1 nM to 10  $\mu$ M. The compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Compds. I were also tested for CRF1 receptor binding affinity.

IT 332225-19-1P 332225-26-0P 332225-31-7P 332225-45-3P 332225-51-1P 332225-68-0P 332225-74-8P 332225-80-6P 332225-86-2P

332225-74-0F 332223-00-0F 332223-00-2F

332225-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

RN 332225-19-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 332225-26-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 332225-31-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-45-3 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-51-1 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-68-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-74-8 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-80-6 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-86-2 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332225-92-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

IT 332179-38-1P 332227-43-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

RN 332179-38-1 CAPLUS

CN

1,2-Ethanediamine; N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

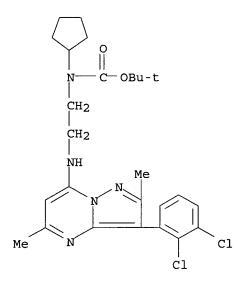
H<sub>2</sub>N-CH<sub>2</sub>-CH<sub>2</sub>-NH

Me

Me

Me

RN 332227-43-7 CAPLUS



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:247336 CAPLUS

DOCUMENT NUMBER: 134:280866

TITLE: Preparation of certain alkylene diamine-substituted

pyrazolo[1,5-a]-1,5-pyrimidines and

pyrazolo[1,5-a]-1,3,5-triazines as selective

modulators of NPY1 receptors

INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum,

Charles; Tran, Jennifer; Giangiordano, Mark; Griffith,

David Andrew; Carpino, Philip Albert

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.; De Lombaert,

Stephane

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT N	KIN	D :	DATE		;	APPL	ICAT	ION 1	NO.		DATE							
					-													
WO 2001023387			A2		2001	0405	1	WO 2000-US26887						20000929				
WO 20010	2338	37		A3 20020124														
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	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,		
	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚĖ,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,		
	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,		
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	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM						
RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,		
	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,		
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	6372743										20000929				
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		ΙE,	SI,	LT,	LV,	FI, RO,	MK,	CY, AI	Ĺ						
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NO	2002	0013	56		Α	2002	0523	NO	200	2-1356			:	20020	319
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OTHER SOURCE(S):

MARPAT 134:280866

GI

$$R^{5}$$
 $R^{6}$ 
 $R^{8}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{4}$ 
 $R^{4}$ 
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 $R^{4}$ 
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 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6$ 

AΒ The title compds. [I; X = N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl which optionally forms (un) substituted aminocarbocycle or aminoheterocycle with A and B, etc.; R2 and R6 with 2 N atoms to which they are bound, form (un) substituted aminoheterocycle; R2 and A form (un) substituted aminocarbocycle, aminoheterocycle; A, B = (un) substituted alkyl; A and B form (un) substituted carbocycle; B and R6 form (un) substituted aminocarbocycle; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un) substituted aryl, heteroaryl; R5 = (un) substituted (cycloalkyl) alkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R14 = H, alkyl, etc.] which are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II, was described. The NPY1 binding affinity for the compds. I, expressed as a Ki value, ranges from 0.1 nM to 10  $\mu M$ . Compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Methods of using the compds. I in receptor localization studies are given.

# IT 332178-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators
 of NPY1 receptors)
332178-43-5 CAPLUS

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-,hydrochloride (9CI) (CA INDEX NAME)

RN

CN

IT 332178-32-2P 332178-33-3P 332178-34-4P 332178-35-5P 332178-37-7P 332178-38-8P 332178-39-9P 332178-40-2P 332178-41-3P 332178-42-4P 332178-44-6P 332178-45-7P 332178-46-8P 332178-47-9P 332178-48-0P 332178-49-1P 332178-50-4P 332178-51-5P 332178-52-6P 332178-53-7P 332178-54-8P 332178-55-9P 332178-56-0P 332178-57-1P 332178-59-3P 332178-60-6P 332178-61-7P 332178-62-8P 332178-63-9P 332178-64-0P 332178-65-1P 332178-66-2P 332178-67-3P 332178-68-4P 332178-69-5P 332178-70-8P 332178-71-9P 332178-72-0P 332178-74-2P 332178-76-4P 332178-77-5P 332178-78-6P 332178-79-7P 332178-82-2P 332178-83-3P 332178-84-4P 332178-85-5P 332178-86-6P 332178-87-7P 332178-88-8P 332178-89-9P 332178-90-2P 332178-91-3P 332178-92-4P 332178-93-5P 332178-94-6P 332178-95-7P 332178-96-8P 332178-97-9P 332178-98-0P 332178-99-1P 332179-00-7P 332179-01-8P 332179-02-9P 332179-03-0P 332179-04-1P 332179-05-2P 332179-06-3P 332179-07-4P 332179-08-5P 332179-09-6P 332179-10-9P 332179-11-0P 332179-12-1P 332179-13-2P 332179-14-3P 332179-15-4P 332179-16-5P

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     332179-27-8P 332179-28-9P 332179-29-0P
     332179-30-3P 332179-31-4P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-
       pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators
       of NPY1 receptors)
RN
     332178-32-2 CAPLUS
     1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-
CN
     dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-
     (9CI) (CA INDEX NAME)
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RN 332178-33-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,4-dimethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332178-34-4 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 332178-35-5 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 332178-37-7 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

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RN 332178-38-8 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-39-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-40-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-41-3 CAPLUS
CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 332178-42-4 CAPLUS
CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, hydrochloride,

trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 332178-44-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-45-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-46-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-47-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-N-[(6-methyl-2-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

RN 332178-48-0 CAPLUS

CN 1-Butanol, 2-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-49-1 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-methyl- (9CI) (CA INDEX NAME)

PAGE 2-A \ OMe

RN 332178-50-4 CAPLUS
CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-ethyl- (9CI) (CA INDEX NAME)

PAGE 2-A \ OMe

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RN

332178-52-6 CAPLUS
Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX CNNAME)

PAGE 2-A \ OMe

RN 332178-53-7 CAPLUS

CN 1,2-Propanediol, 3-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

HO- 
$$CH_2$$
-  $CH$ -  $CH_2$ -  $NH$ -  $CH_2$ -  $CH_2$ -  $NH$ 

Me

C1

OMe

RN 332178-54-8 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-(2-methylpropyl)-

(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A \
OMe

PAGE 2-A

OEt

RN 332178-56-0 CAPLUS

CN Cyclohexanol, 2-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-57-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(4,4,4-trifluorobutyl)- (9CI) (CA INDEX NAME)

RN 332178-59-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

$$F_3C-CH_2-NH-CH_2-CH_2-NH$$

RN 332178-60-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(trifluoromethyl)cyclohexyl]-(9CI) (CA INDEX NAME)

RN 332178-61-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)cyclohexyl]-(9CI) (CA INDEX NAME)

PAGE 2-A \
OMe

RN 332178-62-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2-difluoroethyl)- (9CI) (CA
INDEX NAME)

RN 332178-63-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \hline \text{FCH}_2-\text{CH}-\text{NH}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \hline \\ \text{Me} \\ \hline \\ \text{N} \\ \hline \\ \text{Cl} \\ \hline \\ \text{OMe} \\ \end{array}$$

RN 332178-64-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluorocyclohexyl)- (9CI) (CA INDEX NAME)

RN 332178-65-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-66-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-67-3 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-68-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)-(9CI) (CA INDEX NAME)

RN 332178-69-5 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-70-8 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-71-9 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- $\alpha$ , $\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-72-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-74-2 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-76-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)(9CI) (CA INDEX NAME)

RN 332178-77-5 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-(1,1-dimethylethyl)-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-78-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI)
(CA INDEX NAME)

RN 332178-79-7 CAPLUS
CN 1,2-Ethanediamine, N-3-cyclohexen-1-yl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA

INDEX NAME)

RN 332178-82-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-83-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 332178-84-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RN 332178-85-5 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-86-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-87-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

## PAGE 2-A

RN 332178-88-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

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RN 332178-89-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

## PAGE 2-A

RN 332178-90-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 332178-91-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-92-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

\ OMe

RN 332178-93-5 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332178-94-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(3-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN

CN

OMe

332178-95-7 CAPLUS

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(4-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-96-8 CAPLUS
CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(1-phenyl-3-pyrrolidinyl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A \ OH

RN 332178-97-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332178-98-0 CAPLUS

CN

Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

## PAGE 2-A

## RN 332178-99-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-00-7 CAPLUS

CN

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

332179-01-8 CAPLUS

RN

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-02-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-03-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-04-1 CAPLUS

CN

1,2-Ethanediamine, N-[3-(2,4-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-05-2 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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## PAGE 2-A

RN 332179-06-3 CAPLUS

CN

1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-07-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

## PAGE 2-A

RN 332179-08-5 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-09-6 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-10-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-11-0 CAPLUS

CN

1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-12-1 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-13-2 CAPLUS

CN 1,2-Ethanediamine, N-[5-ethyl-2-methyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-14-3 CAPLUS
CN 1,2-Propanediamine, N1-[5-ethyl-2-methyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

## PAGE 2-A

### RN 332179-15-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-16-5 CAPLUS

CN 1,2-Ethanediamine, N-[2-methyl-5-propyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-17-6 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-18-7 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-19-8 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN332179-20-1 CAPLUS CN

1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-21-2 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

# PAGE 2-A

## RN 332179-22-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A | Me

RN 332179-23-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 2-A | Me

RN 332179-25-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-methoxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

RN 332179-26-7 CAPLUS

CN

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-ethoxy-4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332179-27-8 CAPLUS

CN

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332179-28-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NH-} \\ & \text{N} & \text{Me} \\ & \text{Cl} \\ & \text{OMe} \end{array}$$

RN 332179-29-0 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 332179-30-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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RN 332179-31-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

IT 332179-70-1 332179-74-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators
of NPY1 receptors)

RN 332179-70-1 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-74-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \\ \text{Me} \\ \\ \text{N} \\ \\ \text{Cl} \\ \\ \text{OMe} \\ \end{array}$$

IT 332179-38-1P 332179-42-7P 332179-43-8P 332179-55-2P 332179-56-3P 332179-57-4P 332179-58-5P 332179-59-6P 332179-60-9P 332179-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332179-38-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-42-7 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-methoxy-(9CI) (CA INDEX NAME)

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RN 332179-43-8 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-ethoxy-3-methoxy- (9CI) (CA INDEX NAME)

### 332179-55-2 CAPLUS

RN

CN Benzoic acid, 3,5-dichloro-4-[7-[[2-[[(1,1-dimethylethoxy)carbonyl](tetrah ydro-2H-pyran-4-yl)amino]ethyl]amino]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332179-56-3 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-cyanophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl] (tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-57-4 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl] (tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-58-5 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-hydroxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-59-6 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(methylsulfonyl)oxy]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

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RN 332179-60-9 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(trimethylsilyl)ethynyl]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-66-5 CAPLUS

CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrobromide (9CI) (CA INDEX NAME)

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1971:22872 CAPLUS

DOCUMENT NUMBER: 74:22872

TITLE: 7-Aminoalkylaminopyrazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45030335	B4	19701001	JP	19661214

GI For diagram(s), see printed CA Issue.

I is treated with an amine and the resulting II reduced to manufacture III, useful as an antipyretic, analgesic, and antiinflammatory drug. In an example, I in CHCl3 is refluxed 5 hr with piperidine to give II (A = piperidino), m. 195-6°. Similarly prepared are the following II (A given): morpholino; NMe2. III (A = piperidino) in THF is dropped into a suspension of LiAlH4 in THF and the mixture refluxed 4 hr to give III (A = piperidino). Similarly prepared are the following III (A given): morpholino; NMe2.

IT 30156-81-1P

RN 30156-81-1 CAPLUS

CN 1-Piperidineacetamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)

Searched by John DiNatale 571-272-2557

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ring/chain bonds :
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exact/norm bonds :
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Match level :
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chain nodes :

11:CLASS 12:CLASS 13:Atom

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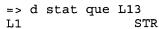
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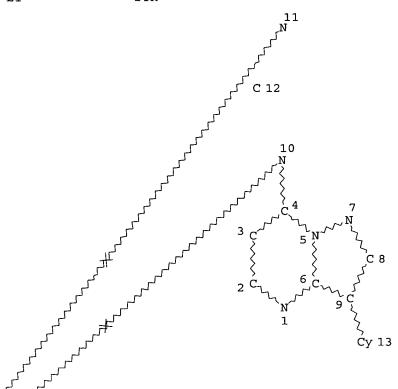
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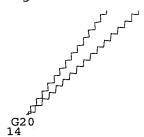
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substance identification.





Page 1-A



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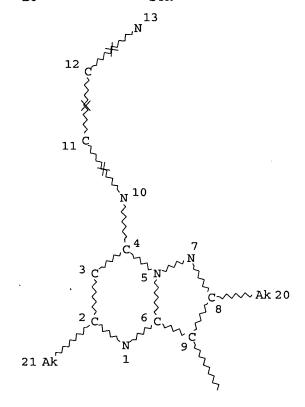
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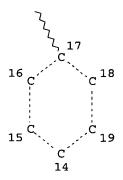
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Page 1-A



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Page 2-A
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L11
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L13
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L13 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
                         2005:160837 CAPLUS
DOCUMENT NUMBER:
                         142:233372
                         Pharmaceutical composition using a combination of an
TITLE:
                         opioid receptor antagonist and a CB-1 receptor
                         antagonist for the prevention and treatment of
                         addiction in a mammal
INVENTOR (S):
                         Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy,
                         Stanton Furst; McLean, Stafford
PATENT ASSIGNEE(S):
                         Pfizer Inc, USA
SOURCE:
                         U.S. Pat. Appl. Publ., 25 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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KIND

DATE

APPLICATION NO.

PATENT NO.

DATE

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US 2005043327
                                       20050224
                                                     US 2004-870209
                               A1
                                                                                 20040617
      WO 2005018645
                               Α1
                                      20050303
                                                     WO 2004-IB2596
                                                                                 20040809
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
               GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
          TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
               SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
               SN, TD, TG
PRIORITY APPLN. INFO.:
                                                     US 2003-496803P
                                                                             P 20030821
      Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine
      dependence or addiction, tobacco dependence or addiction, reduction of alc.
      withdrawal symptoms or aiding in the cessation or lessening of alc. use or
      substance abuse or other behavioral dependencies including gambling.
      pharmaceutical compns. are comprised of a therapeutically effective
      combination of an opioid receptor antagonist and a CB-1 receptor
      antagonist and a pharmaceutically acceptable carrier. The method of using
      these compds. is also disclosed.
IT
      737827-71-3 737827-73-5 737827-74-6
      737827-77-9 737827-81-5 737827-84-8
      737828-23-8 737828-25-0 845670-46-4
      845670-47-5 845670-48-6 845670-49-7
      845670-50-0 845670-51-1 845670-53-3
      845670-56-6 845670-57-7 845670-58-8
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (opioid receptor antagonist-CB-1 receptor antagonist combination for
         prevention and treatment of addiction)
      737827-71-3 CAPLUS
RN
CN
      4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-
      chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI)
                                                                                       (CA
      INDEX NAME)
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RN 737827-73-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]-(9CI) (CA INDEX NAME)

RN 737827-74-6 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-77-9 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-81-5 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-84-8 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chloropheny1)-3-(4-chloropheny1)-6methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737828-23-8 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

$$H_2N-C$$
O NHMe

RN 737828-25-0 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 845670-46-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 845670-47-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 845670-48-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7[(1S,4S)-5-(methylsulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 845670-49-7 CAPLUS
CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 845670-50-0 CAPLUS
CN 3-Azetidinecarboxamide, 3-amino-1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 845670-53-3 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-,  $(1\alpha,5\alpha,6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} C1 \\ N \\ N \\ N \end{array}$$

RN 845670-56-6 CAPLUS

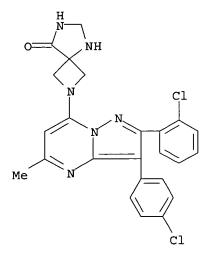
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 845670-57-7 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 845670-58-8 CAPLUS

CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:878151 CAPLUS

DOCUMENT NUMBER: 141:366243

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams,

Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of US

Ser. No. 654,546

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209878	A1	20041021	US 2004-776988	20040211
US 2004209878	A1	20041021	US 2004-776988	20040211
US 2004209878	A1	20041021	US 2004-776988	20040211
PRIORITY APPLN. INFO.:			US 2002-408027P P	20020904
			US 2002-421959P P	20021029
			US 2003-654546 A2	20030903
			US 2004-776988 A	20040211

OTHER SOURCE(S): MARPAT 141:366243

GΙ

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part

RN

I of I-III series.

IT 672315-06-9P 672318-10-4P 779353-03-6P 779353-05-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) 672315-06-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 672318-10-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 779353-03-6 CAPLUS

CN 2-Piperidineethanol, 1-[3-cyclopropyl-7-[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 779353-05-8 CAPLUS

CN Cyclohexanemethanol, 2-[[3-cyclopropyl-7-[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 672324-57-1P 779353-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

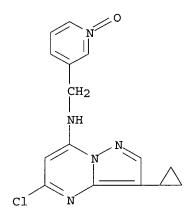
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672324-57-1 CAPLUS

CN Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl](4-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 779353-66-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-cyclopropyl-N-[(1-oxido-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:654772 CAPLUS

DOCUMENT NUMBER: 141:190798

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as cannabinoid receptor ligands

INVENTOR(S): Griffith, David A. PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
US 2004157838	A1 2004083	.2 US 2004-762959	20040121
WO 2004069838	A1 2004083	.9 WO 2004-IB286	20040128
W: AE, AE, AG,	AL, AL, AM, AM	1, AM, AT, AT, AU, AZ, AZ,	BA, BB, BG,
BG, BR, BR,	BW, BY, BY, B	Z, BZ, CA, CH, CN, CN, CO,	CO, CR, CR,

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CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO::

US 2003-446450P

P 20030210

OTHER SOURCE(S):

MARPAT 141:190798
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$$Q = Q = Q = Q = R4?$$

$$R4? \qquad Z \qquad X$$

$$R4? \qquad Z \qquad X$$

$$Q^{1} = Q^{1} = Q^{1} = Q^{1}$$

$$R4? \qquad Q^{1} = Q^{1} = Q^{1}$$

$$R4? \qquad Q^{1} = Q^{1}$$

AB Compds. of formula (I) [wherein R, R1 = each (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-4alkyl, halo-C1-4 alkyl, C1-4 alkoxy; R4 = Q, Q1, OR5 (where R5 taken together with R3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an addnl. oxygen, or a

5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents); R4a = H, C1-3 alkyl; R4b, R4b', R4f, R4f'= H, cyano, HO, NH2, CONH2, C1-6 alkyl, C1-6 alkoxy, acyloxy, acyl, C1-3 alkoxycarbonyl, mono- or di(C1-4 alkyl)carbamoyl, mono- or di(C1-6 alkyl)amino, C3-6 cycloalkylamino, acylamino, aryl(C1-4 alkyl)amino, heteroaryl(C1-4 alkyl)amino, aryl, heteroaryl, each (un)substituted and partially or fully saturated 3-6 membered heterocycle or carbocyclic ring; or either R4b or R4b' taken together with R4e, R4e', R4f, or R4f' forms a bond, a methylene bridge, or an ethylene bridge; X, Z = a bond, (un)substituted CH2CH2; Y = O, S, CO, each (un) substituted CH2CH2 or NH] or pharmaceutically acceptable salt thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared These compds. act as cannabinoid receptor ligands and are useful for treating disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation,

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gastrointestinal disorders, and type II diabetes. Thus,
     1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-
     ethylaminopiperidine-4-carboxylic acid amide (90 mg, 0.17 mmol) was
     coupled with 4-chlorophenylboronic acid (41 mg, 0.26 mmol) in ethanol (2
     mL), toluene (2 mL) and 2 M aqueous Na2CO3 (1 mL) in the presence of
     tetrakis(triphenylphosphine)palladium (27 mg, 0.023 mmol) at 80°
     for 1 h to give 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-
     a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (62 mg,
     72%).
     737827-71-3P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-
IT
     a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide
     737827-72-4P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-
     a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide
     hydrochloride 737827-73-5P 737827-74-6P
     737827-77-9P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-
     a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide
     737827-78-0P 737827-79-1P, 1-[3-(4-Chlorophenyl)-2-(2-
     chlorophenyl) -6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-
     ethylaminopiperidine-4-carboxylic acid amide 737827-81-5P,
     1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-
     a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid Amide
     737827-82-6P, 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5,6-
     dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic
     acid amide hydrochloride 737827-83-7P 737827-84-8P
     737827-85-9P 737827-86-0P, 4-[3-(4-Chlorophenyl)-2-(2-
     chlorophenyl) -5-methylpyrazolo[1,5-a]pyrimidin-7-yl]piperazine-1-
     carboxylic acid tert-butyl ester 737827-87-1P
     737827-91-7P 737827-92-8P 737827-93-9P
     737827-94-0P 737827-95-1P 737827-98-4P
     737828-00-1P 737828-01-2P 737828-02-3P
     737828-03-4P, 1-[4-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5-
     methylpyrazolo[1,5-a]pyrimidin-7-yl]piperazin-1-yl]ethanone
     737828-04-5P 737828-05-6P 737828-06-7P
     737828-07-8P 737828-08-9P 737828-09-0P
     737828-14-7P 737828-22-7P 737828-23-8P,
     1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-
     methylaminoazetidine-3-carboxylic acid amide 737828-24-9P
     737828-25-0P, 8-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-
     a]pyrimidin-7-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor
        ligands (antagonists) for treating diseases mediated by cannabinoid
        receptors)
RN
     737827-71-3
                 CAPLUS
     4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-
CN
     chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI)
                                                                         (CA
     INDEX NAME)
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RN 737827-72-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)

RN 737827-73-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]-(9CI) (CA INDEX NAME)

RN 737827-74-6 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-77-9 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-78-0 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 737827-79-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-81-5 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-82-6 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 737827-83-7 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

RN 737827-84-8 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

RN 737827-85-9 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

RN 737827-86-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 737827-87-1 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 737827-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 737827-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 737827-93-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 737827-94-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 737827-95-1 CAPLUS
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)

RN 737827-98-4 CAPLUS

CN Carbamic acid,  $[(1\alpha, 5\alpha, 6\alpha) - 3 - [2 - (2 - \text{chlorophenyl}) - 3 - (4 - \text{chlorophenyl}) - 5 - \text{methylpyrazolo}[1,5 - a] pyrimidin - 7 - yl] - 3 - azabicyclo[3.1.0] hex - 6 - yl] - , 1,1 - dimethylethyl ester (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 737828-00-1 CAPLUS CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, dihydrochloride, (1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 737828-01-2 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 737828-02-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 737828-03-4 CAPLUS

CN Piperazine, 1-acetyl-4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 737828-04-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN

737828-05-6 CAPLUS
Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME) CN

RN

737828-06-7 CAPLUS
Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylsulfonyl)- (9CI) (CA INDEX NAME) CN

RN 737828-07-8 CAPLUS

CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 737828-08-9 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-[(1-methylethyl)sulfonyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 737828-09-0 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-acetyl-5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 737828-14-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-6-(4-morpholinyl)-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 737828-22-7 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-(methylsulfonyl)-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 737828-23-8 CAPLUS

CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

$$H_2N-C$$
NHMe

RN 737828-24-9 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-,  $(1\alpha,5\alpha,6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{C1} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{R} \\ \end{array}$$

RN 737828-25-0 CAPLUS

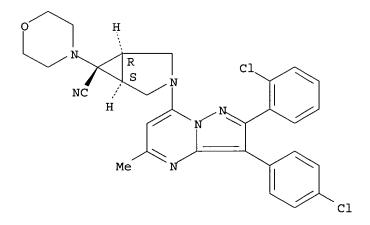
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

#### IT 737827-80-4P

RN

CN

Relative stereochemistry.



 $(1\alpha, 5\alpha, 6\alpha)$  - (9CI) (CA INDEX NAME)

L13 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:220336 CAPLUS

DOCUMENT NUMBER: 140:270873

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik

M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PATENT ASSIGNEE(S): SOURCE:

Schering Corporation, USA; Pharmacopeia, Inc. PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KII	ND DATE	APPLICATION NO.	DATE					
WO 200402256	L A:	1 20040318	WO 2003-US27555	20030903					
W: AE, 2	AG, AL, AM	, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,					
CO,	CR, CZ, DE	, DK, DM, DZ,	EC, EE, ES, FI, GB,	GD, GE, HR, HU,					
ID,	L, IN, IS	, JP, KG, KR,	KZ, LC, LK, LR, LT,	LU, LV, MA, MD,					
MG, I	IK, MN, MX	, MZ, NI, NO,	NZ, PG, PH, PL, PT,	RO, RU, SC, SE,					
SG,	SK, SL, SY	, TJ, TM, TN,	TR, TT, TZ, UA, UZ,	VC, VN, YU, ZA, ZM					
RW: GH,	SM, KE, LS	, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,					
KG,	KZ, MD, RU	, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,					
FI,	FR, GB, GR	, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,					
BF,	BJ, CF, CG	, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG					
CA 2497440	,A.	A 20040318	CA 2003-2497440	20030903					
EP 1537116	A:	1 20050608	EP 2003-794592	20030903					
R: AT, 1	BE, CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,					
IE,	SI, LT, LV	, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK					
BR 200301400	L A	20050705	BR 2003-14001	20030903					
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904					
			US 2002-421959P	P 20021029					
			WO 2003-US27555	W 20030903					
OTHER SOURCE(S):	MAI	MARPAT 140:270873							

GI

$$R^{3}$$
 $N$ 
 $R^{2}$ 
 $R^{4}$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part

I of I-III series.

#### IT 672315-06-9P 672318-10-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) 672315-06-9 CAPLUS

RN 672315-06-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Searched by John DiNatale 571-272-2557

RN 672318-10-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4pyridinylmethyl)- (9CI) (CA INDEX NAME)

IT 672324-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672324-57-1 CAPLUS

CN Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl](4-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:220335 CAPLUS

DOCUMENT NUMBER: 140:270872

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin

dependent kinase inhibitors and anticancer agents
INVENTOR(S):

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;

James, Ray Anthony; Park, Haengsoon

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.;

Pharmacopeia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND DATE		APPLICATIO	ON NO.	DATE					
WO 2004022 WO 2004022				WO 2003-US	327502	20030903					
W: AE	, AG, AL,	AM, AT, AU,	AZ, BA,	BB, BG, E	BR, BY, BZ	, CA, CH, CN,					
CO	, CR, CZ,	DE, DK, DM,	DZ, EC,	EE, ES, E	FI, GB, GD	, GE, HR, HU,					
ID	, IL, IN,	IS, JP, KG,	KR, KZ,	LC, LK, I	LR, LT, LU	, LV, MA, MD,					
MG	, MK, MN,	MX, MZ, NI,	NO, NZ,	PG, PH, E	PL, PT, RC	, RU, SC, SE,					
SG	, SK, SL,	SY, TJ, TM,	TN, TR,	TT, TZ, U	JA, UZ, VC	, VN, YU, ZA, ZM					
RW: GH	, GM, KE,	LS, MW, MZ,	SD, SL,	SZ, TZ, U	JG, ZM, ZW	, AM, AZ, BY,					
KG	, KZ, MD,	RU, TJ, TM,	AT, BE,	BG, CH, C	CY, CZ, DE	, DK, EE, ES,					
FI	, FR, GB,	GR, HU, IE,	IT, LU,	MC, NL, E	PT, RO, SE	, SI, SK, TR,					
BF	, BJ, CF,	CG, CI, CM,	GA, GN,	GQ, GW, N	ML, MR, NE	, SN, TD, TG					
CA 2497450						20030903					
						20030903					
EP 1534710		A1 2005	0601	EP 2003-74	19347	20030903					
R: AT	, BE, CH, 1	DE, DK, ES,	FR, GB,	GR, IT, I	JI, LU, NL	, SE, MC, PT,					
IE	, SI, LT, :	LV, FI, RO,	MK, CY,	AL, TR, E	BG, CZ, EE	, HU, SK					
PRIORITY APPLN.	INFO.:					P 20020904					
		WO 2003-US27502 W 200309									
OTHER SOURCE(S)	: 1	MARPAT 140:270872									

AB The title compds. [I; Q = SO2, CO; R = each (un)substituted aryl or heteroaryl; R2 = cyano, NR5R6, CO2R6, CONR5R6, OR6, SR6, SO2R7, SO2NR5R6, -N(R5)SO2R7, N(R5)COR7, N(R5)CONR5R6, alkynyl, heteroaryl, CF3, heterocyclyl, alkynylalkyl, cycloalkyl, (un)substituted alkyl; R3 = H, halogen, NR5R6, CONR5R6, each (un) substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un) substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un) substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

IT 673475-04-2P 673475-05-3P 673475-06-4P
673475-07-5P 673475-12-2P 673475-13-3P
673475-17-7P 673475-18-8P 673475-26-8P
673475-27-9P 673475-28-0P 673475-29-1P
673475-30-4P 673475-31-5P 673475-32-6P
673475-33-7P 673475-34-8P 673475-35-9P
673475-36-0P 673475-37-1P 673475-39-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents)

673475-04-2 CAPLUS

(Uses)

RN

CN 4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-05-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-06-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-, 1-oxide (9CI) (CA INDEX NAME)

RN 673475-07-5 CAPLUS

CN 4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-, 1-oxide (9CI) (CA INDEX NAME)

RN 673475-12-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopentyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-13-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-17-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 673475-18-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 673475-26-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-(cyclopentylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-27-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-(cyclohexylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-28-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-29-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-30-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-31-5 CAPLUS

ON 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-32-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(hydroxymethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-33-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(2-hydroxyethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-34-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[1-(hydroxymethyl)cyclopentyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-35-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 673475-36-0 CAPLUS

Relative stereochemistry.

RN 673475-37-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(4-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-39-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:220334 CAPLUS

DOCUMENT NUMBER: 140:270871

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin

dependent kinase inhibitors and anticancer agents Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil;

Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;

James, Ray Anthony; Park, Haengsoon

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
WO 2004022559	A1 20040318	WO 2003-US27405	20030903				
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,				
CO, CR,	CZ, DE, DK, DM, DZ,	EC, EE, ES, FI, GB,	GD, GE, HR, HU,				
ID, IL,	IN, IS, JP, KG, KR,	KZ, LC, LK, LR, LT,	LU, LV, MA, MD,				
MG, MK,	MN, MX, MZ, NI, NO,	NZ, PG, PH, PL, PT,	RO, RU, SC, SE,				
SG, SK,	SL, SY, TJ, TM, TN,	TR, TT, TZ, UA, UZ,	VC, VN, YU, ZA, ZM				
RW: GH, GM,	KE, LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,				
KG, KZ,	MD, RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,				
FI, FR,	GB, GR, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,				
BF, BJ,	CF, CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG				
CA 2497444	AA 20040318	CA 2003-2497444	20030903				
US 2004102451	A1 20040527	US 2003-654157	20030903				
EP 1534709	A1 20050601	EP 2003-749317	20030903				
R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
IE, SI,	LT, LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK				
PRIORITY APPLN. INFO.	:	US 2002-408030P	P 20020904				
		WO 2003-US27405	W 20030903				
OTHER SOURCE(S): GI	MARPAT 140:2708	71					

AB The title compds. [I; R = (un)substituted heteroaryl; R2 = (un)substituted alkyl, alkynyl, aryl, heteroaryl, alkynylalkyl, CF3, heterocyclylalkyl, alkynylalkyl, cycloalkyl, CO2R4, etc., wherein aryl is optionally

substituted; R3 = H, halogen, NR5R6, CO2R4, CONR5R6, each (un) substituted alkyl, alkynyl, cycloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, or heteroaryl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un) substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un) substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

IT 674334-56-6P 674334-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

RN 674334-56-6 CAPLUS

CN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-3-pyridinyl-(9CI) (CA INDEX NAME)

RN 674334-87-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-4pyridinyl- (9CI) (CA INDEX NAME)

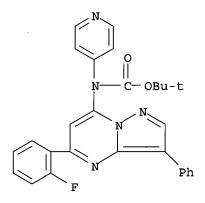
IT 674335-11-6P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

674335-11-6 CAPLUS RN

Carbamic acid, [5-(2-fluorophenyl)-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl]-CN 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2005 ACS on STN L13 ANSWER 7 OF 19

ACCESSION NUMBER:

2003:972079 CAPLUS

DOCUMENT NUMBER:

140:27839

TITLE:

Preparation of pyrazolo[1,5-a]pyrimidine compounds as

antiviral agents against hepatitis C virus (HCV)

infection

INVENTOR(S):

Shipps, Gerald W., Jr.; Rosner, Kristin E.;

Popovici-Muller, Janeta; Deng, Yongqi; Wang, Tong;

Curran, Patrick J.

PATENT ASSIGNEE(S):

Neogenesis Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 249 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

#### PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPL:	ICAT:	ION I	DATE				
WC	WO 2003101993				A1 20031211			1	WO 2	003-1	US17:	20030602					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	HR,	HU,
		ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,
		MG,	MK,	MN,	MX,	MZ,	NI,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SC,	SE,	SG,
		SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UΖ,	VC,	VN,	YU,	ZA,	zM	
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RŬ,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DΕ,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	A 2487	211			AA		2003	1211	(	CA 2	003-	2487	20030602				
US	3 2004	0389	93		A1 20040226			1	US 2	003-4	4524	20030602					
EI	2 1511	751			A1 20050309			EP 2003-731496					20030602				
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	SK	
PRIORITY APPLN. INFO.:								1	US 2	002-3	3858	37P		P 2	0020	604	
									1	WO 2	003-1	US17:	368	,	W 2	0030	602
OTHER SOURCE(S):				MARPAT 140:27839													

GΙ

The title compds. (I) [G1 = OH, cyano, CO2H, CO2R8, CONR2R3, N(R)COR8, AΒ SO2NR2R3, N(R)SO2R8, heteroaryl, aryl, halo, amino, formyl, heterocyclylalkenyl, heterocyclylalkyl, CH(:N)OH, CH(:N)OR8, hydroxyalkyl, saturated or partially unsatd. heterocyclyl; R2, R3, R8 = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, carboalkoxyalkyl, carboalkoxy, acyloxyalkyl, acyloxyalkyl, saturated or partially unsatd. heterocyclyl; or R2 and R3 taken together form a 5- or 6-membered heteroarom. or saturated or partially unsatd. heterocyclic ring; or NR2R3 together forms an  $\alpha$ -,  $\beta$ -, or  $\gamma$ -amino acid; G2 = alkyl, cycloalkyl, aryl, heteroaryl, saturated or partially unsatd. heterocyclyl, CF3, carboxyalkylamino, alkylamino, CO2H, alkenyl, alkoxyalkyl, heterocyclylalkyl, cycloalkylalkyl, arylalkyl, and -W-Cy, where W is selected from the group consisting of O, N(R), S, CO, CH(R), OCH(R), N(R)CH(R), SCH(R), CON(R), N(R)CO, SO2N(R), N(R)SO2, and N(R)CON(R) (where R = H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, and saturated or partially unsatd. heterocyclyl); Cy = cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, saturated or partially unsatd. heterocyclic radical; G3 = absent or groups listed in G2; wherein the ring portion of cycloalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, or heterocyclyl in G1, G2, or G3 can be optionally substituted] or pharmaceutically

acceptable slats thereof are prepared. The invention relates to the inhibition of hepatitis C virus (HCV) replication, in particular provides the compds. I and methods for inhibiting HCV RNA-dependent RNA polymerase enzymic activity and compns. and methods for the prophylaxis and treatment of HCV infection. The compds. I inhibited HCV RNA-dependent RNA polymerase (RdRp) at the concentration from >10 to <1  $\mu M$ .

IT 632363-02-1P 632363-03-2P 632363-04-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[a]pyrimidine compds. as antiviral agents against hepatitis C virus (HCV) infection and as inhibitors of HCV RNA-dependent RNA polymerase)

RN 632363-02-1 CAPLUS

CN

Pyrazolo[1,5-a]pyrimidine, 6-[4-(phenylmethoxy)phenyl]-7-(1-piperazinyl)-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

RN 632363-03-2 CAPLUS

CN Piperazine, 1-acetyl-4-[6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ac} \\ \\ \\ \text{N} \\ \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \\ \text{N} \\$$

RN 632363-04-3 CAPLUS

CN Piperazinone, 4-[6-[4-(phenylmethoxy)phenyl]-3-(1H-tetrazol-5-yl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:521741 CAPLUS

DOCUMENT NUMBER: 137:93768

TITLE: Preparation of tricyclic heterocyclic derivative

compounds as antagonists of corticotropin release factor receptor and drugs containing these compounds

as the active ingredient

INVENTOR(S): Nakai, Hisao; Kagamiishi, Yoshifumi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 456 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PATENT NO.					KIND DATE				APP	LICAT	ION 1	DATE					
V	WO 2002053565			A1 20020711			1	WO :	2001-	JP11	20011227							
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW	, MX,	MZ,	NO,	NZ,	OM,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	$\mathtt{SL}$	, TJ,	TM,	TN,	TR,	TT,	TZ,	UA,
			ŪĠ,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ	, BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	, IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, GW,	ML,	MR,	NΕ,	SN,	TD,	TG
C	CA	2432	148			AA 20020711			CA 2001-2432148					20011227				
E	ΞP	1354	884			A1 20031022			EP 2001-995808					20011227				
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	ΝL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR						
C	CN	1491	225			A 20040421				4	CN 2	2001-	B227	20	20011227			
_		3528				B2 20040524			JP 2002-555088					20011227				
E	3R	2001	0166	09		A 20050215			BR 2001-16609					20011227				
N	IZ	5267	12			A 20050324				NZ 2001-526712					20011227			
N	10	2003	0029	56		Α		2003	0828	NO 2003-2956					20030626			
U	JS	2004	07283	33		A1		2004	0415	1	US 2	2003-2	2503	28		2	0030	630
Ū	JΡ	2004	0835	97		A2		2004	0318		JP 2	2003-4	4069	38		2	0031	205
PRIORI	ΥT	APP:	LN.	INFO	. :					,	JP :	2000-4	4025	17	1	A 2	0001	228
										,	JP :	2002-!	5550	88	7	A3 2	0011	227
										1	WO 2	2001-	JP11	581	Ţ	₩ 2	0011	227

OTHER SOURCE(S):

MARPAT 137:93768

AB Tricyclic heterocyclic derivs. such as 6,7-dihydro-5Hcyclopenta[d]pyrazolo[1,5-a]pyrimidine, 5,7-dihydrofuro[3,4-d]pyrazolo[1,5a]pyrimidine, and 6,7-dihydro-5H-cyclopenta[e]pyrrolo[2,3-b]pyridine derivs. represented by the following general formula (I) and pharmaceutically acceptable salts thereof [wherein X, Y = C or N, provided that both X and Y are not simultaneously N; W = C, N; U, Z = (un) substituted CH or NH, N, O, S, CO, C(:S); ring A = optionally substituted C4-6 carbocyclic ring or 4 to 5-membered heterocyclic ring possessing at least one of N, O, and S atom; R1 = (un)substituted C1-8 alkyl, C2-8 alkynyl, C2-8 alkenyl, NH2, or OH, SH, S(O)nR7, etc. (wherein n = 0-2; R7 = C1-8 alkyl, optionally substituted C3-10 bicyclic carbocyclyl, 3- to 10-membered ring bicyclic heterocyclyl, mono or bicyclic heterocyclyl-C1-4 alkyl, mono or bicyclic heterocyclyl-C1-4 alkyl, etc.); R3 = 5 to 10-membered mono or bicyclic heterocyclyl containing 1-4 N, 1 or 2 O and/or 1 or 2 O S atoms substituted by 1-5 groups selected from C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, halo, etc.] or pharmacol. acceptable salts thereof or hydrates thereof are prepared Because of having a corticotropin release factor (CRF) receptor antagonism, the compds. I are useful in preventing and/or treating diseases caused by unusual secretion of corticotropin release factor, including depression (single episode, recurrent, post-delivery, or child abuse-induced depression), anxiety, anxiety disorders (panic disorder, specific phobia, acrophobia, social phobia, or obsessive-compulsive disorder), emotional disorder, dipolar disorder, post-traumatic stress, digestive ulcer, diarrhea, constipation, irritable bowel syndrome, inflammatory bowel diseases (ulcerous colitis or Crohn's disease), gastrointestinal function disorder accompanied by stress, neurol. vomiting, eating disorder [neurol. anorexia (anorexia nervosa) or overeating], obesity, stress-induced sleep disorder, fibromuscular pain-induced sleep disorder, stress-induced immunosuppression, stress-induced headache, stress-induced fever, stress-induced pain, operation invasion stress, chronic articular rheumatism, osteoarthritis, osteoporosis, psoriasis, and thyroid gland malfunction syndrome. The above diseases also include uveitis, asthma, diseases based on inappropriate antidiarrheic hormone, pain, inflammation, allergy, head trauma, spinal cord injury, ischemic neuron damage, Cushing's disease, seizure (attack), spasm, muscle spasm, epileptic ischemia, Parkinson's disease, Huntington's disease, urinary incontinence, Alzheimer's disease, Alzheimer-type senile dementia, multi-infarction dementia, amyotrophic lateral sclerosis, hypoglycemia, cardiovascular or cardiac diseases (hypertension, tachycardia, or ischemic heart failure), and alc. or drug withdrawal. Thus, a mixture of 150 mg 8-chloro-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5a)pyrimidine and 0.60 mL 3-pentylamine was heated at 140° for 1 h to give 8-(3-pentylamino)-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine (II). The compds. I

inhibited the binding of 125I-CRF to human CRF receptor with IC50 of <1  $\,\mu M$  . A tablet and an ampule formulation containing II were prepared

IT 441057-31-4P 441057-32-5P 441057-33-6P

441057-92-7P 441057-93-8P 441058-16-8P

441058-35-1P 441058-66-8P 441058-67-9P

441058-68-0P 441058-74-8P 441059-33-2P

441059-66-1P 441059-67-2P 441059-74-1P

441059-75-2P 441059-76-3P 441059-77-4P

441060-42-0P 441060-43-1P 441060-58-8P 441060-59-9P 441060-61-3P 441060-62-4P

441060-63-5P 441061-11-6P 441061-88-7P

441061-97-8P 441061-98-9P 441062-36-8P

441062-37-9P 441062-76-6P 441062-89-1P

441062-90-4P 441062-94-8P 441062-95-9P

441063-00-9P 441063-02-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic heterocyclic derivative compds. as antagonists of corticotropin release factor receptor and drugs containing them as active ingredient)

RN 441057-31-4 CAPLUS

CN 1,2-Ethanediamine, N'-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 441057-32-5 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N',N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{N-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \hline \\ \text{N} & \\ \text{Me} \end{array}$$

●2 HC1

RN 441057-33-6 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N-ethyl-N',N'-dimethyl-\*(9CI) (CA INDEX NAME)

RN 441057-92-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-8-(4-phenyl-1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 441057-93-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 8-[4-(2-chlorophenyl)-1-piperazinyl]-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-16-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 8-[3,6-dihydro-5-(3-methyl-1,2,4-oxadiazol-5-yl)-1(2H)-pyridinyl]-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-35-1 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(2-methylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2\\ & \text{N}-\text{Bu}-\text{i}\\ & \text{N} \end{array}$$

●2 HCl

RN 441058-66-8 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

## •2 HCl

RN 441058-67-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2 \\ \text{N-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \\ \text{O} \\ \\ \text{N} \\ \end{array} \begin{array}{c|c} \text{Me} \\ \\ \text{OMe} \\ \\ \\ \text{Cl} \\ \end{array}$$

## •2 HCl

RN 441058-68-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

RN 441058-74-8 CAPLUS

CN Benzonitrile, 4-[[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441059-33-2 CAPLUS

CN Benzonitrile, 4-[[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-66-1 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N - CH_2 & & \\ & & & \\ N & & & \\ & & & \\ \end{array}$$

### ● HCl

RN 441059-67-2 CAPLUS

CN Benzonitrile, 4-[[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-74-1 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$N-CH_2$$
 $N$ 
 $Me$ 
 $OMe$ 
 $C1$ 

● HCl

RN 441059-75-2 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$CH_2$$
 $N-CH_2$ 
 $N$ 
 $Me$ 
 $OMe$ 

RN 441059-76-3 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 441059-77-4 CAPLUS

CN Benzonitrile, 4-[[(cyclopropylmethyl)[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441060-42-0 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441060-43-1 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441060-58-8 CAPLUS

CN Acetaldehyde, [[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime (9CI) (CA INDEX NAME)

RN 441060-59-9 CAPLUS

CN Acetaldehyde, [[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441060-61-3 CAPLUS

CN Butanal, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 441060-62-4 CAPLUS

CN Butanal, 2-[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 441060-63-5 CAPLUS

CN Butanenitrile, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441061-11-6 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

RN 441061-88-7 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N-CH}_2-\text{CH}_2 \\ \text{N-Bu-i} \\ \text{N} \end{array}$$

RN 441061-97-8 CAPLUS

CN 1,2-Ethanediamine, N-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 441061-98-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]-N',N'-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2 \\ \text{N-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \\ \text{N} \end{array} \begin{array}{c|c} \text{Me} \\ \text{OMe} \\ \\ \text{Cl} \end{array}$$

RN 441062-36-8 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441062-37-9 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl ]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $N-CH_2$ 
 $N$ 
 $Me$ 
 $OMe$ 

RN 441062-76-6 CAPLUS

CN Benzonitrile, 4-[[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441062-89-1 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]cyclopropylamino]methyl]- (9CI) (CA INDEX NAME)

RN 441062-90-4 CAPLUS

CN Benzonitrile, 4-[[cyclopropy1[3-(4-methoxy-2-methylpheny1)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 441062-94-8 CAPLUS

CN Benzonitrile, 4-[[[3-(2-chloro-4-methoxyphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl](cyclopropylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 $N-CH_2$ 
 $Me$ 
 $OMe$ 

RN 441062-95-9 CAPLUS

CN Benzonitrile, 4-[[(cyclopropylmethyl)[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 441063-00-9 CAPLUS

CN Acetaldehyde, [[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]propylamino]-, O-methyloxime (9CI) (CAINDEX NAME)

RN 441063-02-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-8-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:31438 CAPLUS

DOCUMENT NUMBER:

136:102370

TITLE:

Preparation of tetrahydropyridine or piperidine

heterocyclic derivatives and their affinity for CRF

receptors

INVENTOR(S):

Nakazato, Atsuro; Kumagai, Toshihito; Okubo,

Taketoshi; Kameo, Kazuya

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:
FAMILY ACC. NUM. COUNT:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

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     WO 2002002549
                         A1
                               20020110
                                           WO 2001-JP5806
                                                                  20010704
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                         CA 2001-2412287
     CA 2412287
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                                                                  20010704
                         Α5
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                                           AU 2001-69437
     AU 2001069437
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                               20030409
     EP 1299378
                         Α1
                                         EP 2001-947819
                                                                  20010704
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001012166
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                               20030902
                                           BR 2001-12166
                                                                  20010704
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     JP 2004502685
                               20040129
                                           JP 2002-507801
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                                           TW 2001-90116391
                                                                 20010704
                        Α
     EE 200300007
                               20040816
                                           EE 2003-7
                                                                  20010704
     CN 1535968
                        Α
                               20041013
                                           CN 2004-10033876
                                                                 20010704
     ZA 2002010041
                        Α
                               20031211
                                           ZA 2002-10041
                                                                  20021211
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     BG 107374
                               20040930
                                           BG 2002-107374
                                                                 20021211
     NO 2002006125
                        Α
                               20030204
                                           NO 2002-6125
                                                                  20021219
     US 2004034061
                        A1
                               20040219
                                           US 2003-311277
                                                                 20030825
     US 6852732
                        B2
                               20050208
     US 2005009874
                        A1
                               20050113
                                           US 2004-912185
                                                                  20040806
PRIORITY APPLN. INFO.:
                                           JP 2000-204021
                                                              A 20000705
                                           JP 2000-270535
                                                             A 20000906
                                           WO 2000-JP5806
                                                              W 20000704
                                           WO 2001-JP5806
                                                             W 20010704
                                           US 2003-311277
                                                              A3 20030825
OTHER SOURCE(S):
                        MARPAT 136:102370
     Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity
     for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6-
     tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole
     was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-
     methylthiazole hydrochloride, followed by reaction with
     5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.
     388122-81-4P 388122-83-6P 388122-85-8P
TТ
     388122-87-0P 388122-89-2P 388122-90-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of tetrahydropyridine or piperidine heterocyclic derivs. and
        their affinity for CRF receptors)
     388122-81-4 CAPLUS
RN
     4-Pyridinecarboxamide, 1-[2,5-dimethyl-3-(2,4,6-
CN
     trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro- (9CI)
     (CA INDEX NAME)
```

RN 388122-83-6 CAPLUS

CN 4-Pyridinecarboxamide, 1-[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro-(9CI) (CA INDEX NAME)

RN 388122-85-8 CAPLUS

CN 3-Pyridinecarboxamide, 1-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro-(9CI)(CA INDEX NAME)

RN 388122-87-0 CAPLUS

CN 3-Pyridinecarboxamide, 1-[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)

RN 388122-89-2 CAPLUS

CN 4-Pyridinecarboxamide, 1-[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

RN 388122-90-5 CAPLUS

CN 3-Pyridinecarboxamide, 1-[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:813420 CAPLUS

DOCUMENT NUMBER:

135:344507

TITLE:

Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S):

He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S):

Dupont Pharmaceuticals Company, USA

SOURCE:

U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US ZA US	63131 61242 97066 61368	89 03			B1 A A A B		2001 2000 1999 2000 2000	0926 0125 1024		US ZA US	19: 19:	97 - 8 97 - 6 98 - 1	3992 5603 L499	42 9			19980 19970 19970 19980	)723 )724 )128
	4680																19990	
	23146				AA													
WO	99388						1999											
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		RO,	SG,	SI,	SK,	UA,	VN,	AM,	AZ,	B	Z, ]	KG,	ΚZ,	MD,	RU,	TJ	T, TM	
		AT, PT,		•	-			ES,	FI,	F	₹, (	GΒ,	GR,	IE,	IT,	LU	J, MC	NL,
AU	99247	87			A1 B2		1999	0816		ΑU	19:	99-2	2478	7			19990	128
UA	74881	.8			B2		2002											
	10496						2000	1108		ΕP	19	99-9	043	82			19990	128
EP	10496	99			B1		2004	0421										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹, :	IT,	LI,	LU,	NL,	SE	, PT,	IE,
		SI,	LT,	LV,	FI,	RO												
BR	99082	06			Α		2000	1205		BR	19:	99-8	3206				19990	
JP	20025	0192	22		T2		2002	0122		JP	20	00-5	5293	35			19990	128
NZ	50507	9			Α		2003	0829		NZ	19	99-5	5050	79			19990	128
EP	13447	79			A1		2003	0917		ΕP	20	03-7	7588	7			19990	
EP	13447	79			В1		2005											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GI	₹, :	IT,	LI,	LU,	NL,	SE	F, PT	IE,
					FI,		·	•	•		•		•	•	•			•
AT	26486		·	•	E		2004	0515		ΑT	19	99-9	9043	82			19990	128
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ES	22189	91			Т3		2004			ES	19	99-9	9043	82			19990	128
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	52037				B A1 A2		2003										19990	
	20030		35		A1		2003			US	20	01-9	9307	82			20010	0816
	20050				A2		2005			JР	200	04-2	2164	82 83			20040	
PRIORITY				. •						US	19	96-2	2329	0P		P	19960	
1111011111				• •													19970	
										US	199	96-6	8604	47		A	19960	
										JD.	19	98-5	5072	47 33			19970	
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						•				DD	100	00_C	2000	2 82		νs	19990	1120
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OTHER SOURCE(S):

MARPAT 135:344507

GI

The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un) substituted AΒ Ph, naphthyl, pyridyl, etc.; R = H, alkyl, alkenyl, etc.; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

IT 202579-61-1P 202579-71-3P 202579-72-4P 202579-85-9P 202579-89-3P 202579-90-6P 262297-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N - CH_2 - CH_2 - CN \\ \hline & & & \\ Me & & \\ \end{array}$$

RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 

RN262297-98-3 CAPLUS

Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-CNdimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $Me$ 
 $Me$ 

REFERENCE COUNT:

103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L13 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:796238 CAPLUS

DOCUMENT NUMBER:

135:339292

TITLE:

Combinations of corticotropin releasing factor

antagonists and growth hormone secretagogues

INVENTOR(S):

Fossa, Anthony A.

PATENT ASSIGNEE(S): SOURCE:

Pfizer Products Inc., USA Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1149583	A2	20011031	EP 2001-303033	20010330
EP 1149583	A3	20011114		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI	, RO		
BR 2001001456	A	20011204	BR 2001-1456	20010411
CA 2344089	AA	20011013	CA 2001-2344089	20010412
US 2001041673	A1	20011115	US 2001-834477	20010413
PRIORITY APPLN. INFO.:			US 2000-196698P	P 20000413

OTHER SOURCE(S): MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$N-Pr$$
 $N-(CH_2)_3-CN$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

L13 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338070 CAPLUS

DOCUMENT NUMBER: 134:336224

TITLE: Use of corticotropin releasing factor (CRF)

antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026
R: AT, BE,	CH, DE, DE	C, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI,	LT, LV, FI	, RO		
AU 776724	B2	20040916	AU 2000-66695	20001024
ZA 2000006008	Α	20020426	ZA 2000-6008	20001026
US 6589947	B1	20030708	US 2000-696822	20001026
CA 2325069	AA	20010429	CA 2000-2325069	20001027
NZ 507825	A	20041126	NZ 2000-507825	20001027
PRIORITY APPLN. INFO	).:		US 1999-162340P	P 19991029
OTHER SOURCE(S):	MARPAT	134:33622	24	

AB Compns. and methods are provided for achieving a therapeutic effect,

including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

L13 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:185043 CAPLUS

DOCUMENT NUMBER:

134:217215

TITLE:

Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression

and other conditions

INVENTOR(S):

Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): SOURCE:

Pfizer Products Inc., USA Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
EP 1082960 EP 1082960	A2 20010314 A3 20020320		20000818			
		GB, GR, IT, LI, LU, NL,	SE, MC, PT,			
US 6432989	B1 20020813	US 2000-587007	20000605			
EP 1449532	A1 20040825	EP 2004-12293	20000818			
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,			
IE, FI, CY						
JP 2001097889	A2 20010410	JP 2000-251836	20000823			
ZA 2000004362	A 20020225	ZA 2000-4362	20000824			
CA 2316662	AA 20010227	CA 2000-2316662	20000825			
NZ 506562	A 20020927	NZ 2000-506562	20000825			
AU 776077	B2 20040826	AU 2000-53644	20000825			
US 2002156089	A1 20021024	US 2002-161816	20020604			

US 2004082597 A1 20040429 US 2003-676201 20031001
PRIORITY APPLN. INFO.: US 1999-151183P P 19990827
US 2000-587007 A3 20000605
EP 2000-307074 A3 20000818
US 2002-161816 A3 20020604

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

IT 202580-60-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$N-Pr$$
 $N-(CH_2)_3-CN$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

L13 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:131201 CAPLUS

DOCUMENT NUMBER: 134:178572

TITLE: Preparation of azolo triazines and pyrimidines as

corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilliqan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA

SOURCE: U.S., 90 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATÉ
US 6191131	B1	20010220	US 1998-15002	19980128
US 6124289	Α	20000926	US 1997-899242	19970723
ZA 9706603	Α	19990125	ZA 1997-6603	19970724
US 6136809	Α	20001024	US 1998-14999	19980128
LT 4680	В	20000725	LT 1999-8	19990125

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WO																		PL,
	** .																, NZ,	
	pw.																, MC,	
			an.															
AU	9924 7488 1049	787			A1		1999	0816	1	UA	199	99-2	2478	7			19990	128
AU	7488	18			B2		2002	0613										
EP	1049	699			A1		2000	1108	I	ΞP	199	99-9	90438	82			19990	128
EP	1049	699			B1		2004	0421										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	2, ]	ΙΤ,	LI,	LU,	NL,	SE	, PT,	ΙE,
		SI,	LT,	LV,	FI,	RO												
BR	9908	206			Α		2000	1205	F	3R	199	99-8	3206				19990	128
	2002						2002	0122	Ċ	JP	200	00-5	52933	35			19990	128
	5050	79			Α		2003	0829	1	ΝZ	199	99-5	050	79			19990	128
EP	1344																	
EP	1344	779			В1		2005	0810										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	2, ]	ΙΤ,	LI,	LU,	NL,	SE	, PT,	ΙE,
		SI,	LT,	LV,	FI,	RO												
AT	2648	60			E		2004	0515	1	$\Upsilon$	199	99-9	0438	32			19990	128
PT	1049	699			T		2004	0831									19990	
CN	1542	010			Α		2004	1103	(	CN	200	03-1	10122	2546			19990	128
ES	2218	991			Т3		2004	1116	I				0438	32			19990	128
SG	1110	76			<b>A1</b>		2005	0530	5	3G	200	02-2	20020	0455	5		19990	128
TW	5203	72			В		2003	0211	7	ΓW	199	99-8	38102	2636			19990	223
US	6358	950 Ĩ	`		В1		2004: 2005: 2003: 2002: 2005:	0319	τ	JS	200	00-6	9675	59			20001	.026
JP	2005	0972	57		A2		2005	0414	Ċ	JP	200	04-2	21648	33			20040	723
PRIORITY	APP	LN.	INFO	. :					τ	JS	199	96-2	23290	OΡ		P	19960	724
									τ	JS	199	97-8	39924	12		A2	19970	723
									Ţ	JS	199	96-6	8604	<b>4</b> 7		Α	1999( 1999( 20001 2004( 1996( 1997(	724
									Ċ	JΡ	199	98-5	072	33		Α3	19970	723
																	19980	
									τ	JS	199	98-1	1500	1		Α	19980	128
																	19980	
																	19990	
									V	O	199	J-66	JS182	24		W	19990	128

OTHER SOURCE(S): MARPAT 134:178572 GI

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un) substituted Ph, naphthyl, pyridyl, etc.; R = H, alk(en/yn)yl, halo, etc.; R1, R2 = H, alk(en/yn)yl, halo, etc.; R3 = H, SH, aryl, etc.; R14 = (un) substituted alk(en/yn)yl, cycloalkyl(alkyl)], useful in treating CRF-related disorders, particularly anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. For

instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-2,5-dimethyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound I [A = CH; R1 = Me; R3 = NHCHEt2; Z = CMe; Ar = 2-Cl-4-MeC6H3]. The compds. I are effective at 0.002-200 mg/kg/day.

IT 202579-61-1P 202579-71-3P 202579-72-4P 202579-85-9P 202579-89-3P 202579-90-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolo-fused triazines and pyrimidines as CRF antagonists)

RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 

REFERENCE COUNT: 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:307132 CAPLUS

DOCUMENT NUMBER: 132:321873

TITLE: Azolo triazines and pyrimidines useful as

corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios

Georgios

PATENT ASSIGNEE(S): DuPont Pharmaceuticals Co., USA

SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

		APPLICATION NO.	DATE		
		US 1998-15001			
US 6124289	A 20000926	US 1997-899242	19970723		
		ZA 1997-6603			
US 6136809	A 20001024	US 1998-14999	19980128		
LT 4680	B 20000725	LT 1999-8 CA 1999-2314613	19990125		
			19990128		
WO 9938868	A1 19990805	WO 1999-US1824	19990128		
W: AU, BR, CA,	CN, CZ, EE, HU,	IL, JP, KR, LT, LV, MX,	NO, NZ, PL,		
RO, SG, SI,	SK, UA, VN, AM,	AZ, BY, KG, KZ, MD, RU,	TJ, TM		
RW: AT, BE, CH,	CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
PT, SE					
		AU 1999-24787	19990128		
AU 748818					
EP 1049699	A1 20001108	EP 1999-904382	19990128		
EP 1049699	B1 20040421				
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, PT, IE,		
SI, LT, LV,	FI, RO				
		BR 1999-8206	19990128		
JP 2002501922	T2 20020122	JP 2000-529335	19990128		
		NZ 1999-505079			
EP 1344779	A1 20030917	EP 2003-75887	19990128		
EP 1344779	B1 20050810				
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, PT, IE,		
SI, LT, LV,					
AT 264860	E 20040515	AT 1999-904382 PT 1999-904382	19990128		
PT 1049699	T 20040831	PT 1999-904382	19990128		

CN 1542010	Α	20041103	CN	2003-10122546		19990128
ES 2218991	Т3	20041116	ES	1999-904382		19990128
SG 111076	A1	20050530	SG	2002-200204556		19990128
TW 520372	В	20030211	TW	1999-88102636		19990223
JP 2005097257	A2	20050414	JP	2004-216483		20040723
PRIORITY APPLN. INFO.:			US	1996-23290P	P	19960724
			US	1997-899242	A2	19970723
			US	1996-686047	Α	19960724
			JP	1998-507233	<b>A3</b>	19970723
			US	1998-14734	Α	19980128
			US	1998-15001	Α	19980128
			US	1998-15002	Α	19980128
			EP	1999-904382	<b>A</b> 3	19990128
			WO	1999-US1824	W	19990128

OTHER SOURCE(S):

MARPAT 132:321873

GI

AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R1, R2 = H, groups listed for R, NH2 or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R3 = H, OH or derivs., SH or derivs., acyl, CO2H or esters, NH2 or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R4 = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol.

disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound III. IT 202579-61-1P 202579-71-3P 202579-72-4P 202579-85-9P 202579-89-3P 202579-90-6P 202580-60-7P 234776-73-9P 234776-78-4P 234776-79-5P 234776-91-1P 234776-96-6P 234776-97-7P 234777-09-4P 234777-18-5P 234777-23-2P 234777-24-3P 234777-36-7P 234777-42-5P 234777-43-6P 234777-55-0P 234777-64-1P 234777-69-6P 234777-70-9P 234777-82-3P 234777-87-8P 234777-88-9P 234778-00-8P 262297-98-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compound; preparation of azolo-fused triazines and pyrimidines as CRF antagonists) RN202579-61-1 CAPLUS Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-CNa]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-71-3 CAPLUS
CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-72-4 CAPLUS
CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$n-Pr$$
 $N-(CH_2)_3-CN$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

RN 234776-73-9 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

RN 234776-78-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ \\ \text{Cl} & \text{N} & \text{Me} \\ \\ & \text{Me} \end{array}$$

RN 234776-79-5 CAPLUS

CN Propanenitrile, 3-[butyl[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$NC-CH_2-CH_2$$
 $N-Bu-n$ 
 $Me$ 
 $Me$ 
 $Me$ 
 $Me$ 

RN 234776-91-1 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ & \text{Cl} & \text{Me} \\ & \text{Me} & \text{Me} \end{array}$$

RN 234776-96-6 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 

RN 234776-97-7 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 

RN 234777-09-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-18-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-23-2 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-24-3 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-36-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5,6trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{--CH}_2\text{--CN} \\ & \text{Me} \\ & \text{N} \end{array}$$

RN 234777-42-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-43-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N-CH_2-CH_2-CN \\ \\ Me & & N \\ \\ Me & & N \\ \end{array}$$

RN 234777-55-0 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ & \text{Me} \\ & \text{N} \\ & \text{Me} \\ & \text{C1} \\ \end{array}$$

RN 234777-64-1 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 
 $Me$ 

RN 234777-69-6 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-70-9 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NC-CH_2-CH_2 \\ \hline N-Bu-n \\ \hline Me \\ Me \\ \hline Me \\ Me \\ \end{array}$$

RN 234777-82-3 CAPLUS

CN Propanenitrile, 3-[[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-87-8 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N-CH_2-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 

RN 234777-88-9 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 

RN 234778-00-8 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 262297-98-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

68

ACCESSION NUMBER:

2000:125866 CAPLUS

DOCUMENT NUMBER:

132:231516

TITLE:

AUTHOR (S):

The discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine: a

corticotropin-releasing factor (hCRF1) antagonist Gilligan, Paul J.; Baldauf, Caryn; Cocuzza, Anthony;

Chidester, Dennis; Zaczek, Robert; Fitzgerald,

Lawrence W.; McElroy, John; Smith, Mark A.; Shen, H.-S. L.; Saye, Jo Anne; Christ, David; Trainor,

George; Robertson, David W.; Hartig, Paul Chemical and Physical Sciences Department,

Experimental Station, DuPont Pharmaceuticals Co.,

Wilmington, DE, 10880-0500, USA Bioorganic & Medicinal Chemistry (2000), 8(1), 181-189

CODEN: BMECEP; ISSN: 0968-0896

Elsevier Science Ltd. PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

CORPORATE SOURCE:

SOURCE:

Structure-activity relationship studies led to the discovery of AB 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5a]-pyrimidine (compound 11-31, DMP904), whose pharmacol. profile strongly supports the hypothesis that hCRF1 antagonists may be potent anxiolytic drugs. Compound 11-31 (hCRF1 Ki =  $1.0 \pm 0.2$  nM (n = 8)) was a potent antagonist of hCRF1-coupled adenylate cyclase activity in HEK293 cells  $(IC50 = 10.0 \pm 0.01 \text{ nM vs. } 10 \text{ nM r/hCRF, } n = 8); \alpha-helical$ CRF(9-41) had weaker potency (IC50 =  $286 \pm 63$  nM, n = 3). Analog 11-31 had good oral activity in the rat situational anxiety test; the min. ED for 11-31 was 0.3 mg/kg, orally. Maximal efficacy (approx. 57% reduction in latency time in the dark compartment) was observed at this dose. Chlordiazepoxide caused a 72% reduction in latency at 20 mg/kg, orally. CP154526-1 (30 mg/kg, orally) was inactive in this test. Compound 11-31 did not inhibit open-field locomotor activity at 10, 30, and 100 mg/kg, orally in rats. In beagle dogs, this compound (5 mg/kg, i.v., orally) afforded good plasma levels. The key i.v. pharmacokinetic parameters were t1/2, CL and Vd.ss values equal to  $46.4 \pm 7.6 \text{ h}$ ,  $0.49 \pm 0.08 \text{ L/kg/h}$  and 23.0  $\pm$  4.2 L/kg, resp. After oral dosing, the mean Cmax, Tmax, t1/2 and bioavailability values were equal to 1260 ± 290 nM, 0.75 ± 0.25 h, 45.1  $\pm$  10.2 h and 33.1%, resp. The overall rat behavioral profile of this compound suggests that it may be an anxiolytic drug with a low motor side effect liability.

202579-61-1 202579-85-9 202579-89-3 IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

202579-61-1 CAPLUS RN

Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-CN a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

202579-85-9 CAPLUS RN

Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-CN a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$

Me

N

Me

N

Me

Me

## IT 202579-71-3P 202579-72-4P 202579-90-6P 262297-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

RN 262297-98-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:495296 CAPLUS

DOCUMENT NUMBER: 131:144616

TITLE: Preparation of azolotriazines and -pyrimidines as

corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S):

Du Pont Pharmaceuticals Company, USA

SOURCE:

PCT Int. Appl., 245 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
_ W(	WO 9938868					A1 19990805			WO 1999-US1824							19990128			
		AU,																	
		RO,	SG,	SI,	SK,	UA,	VN,	AM,	ΑZ,	ВУ	ζ,	KG,	KZ,	MD,	RU,	T	I, I	M	
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FF	₹,	GB,	GR,	ΙE,	IT,	LŲ	J, M	IC,	NL,
		PT,	SE																
	S 6060						2000										199		
U	US 6191131				B1		0220	US 1998-15002						19980128					
U	US 6313124				В1		2001	1106	US 1998-14734						19980128				
C	CA 2314613				AA		CA 1999-2314613						19990128						
A	J 9924	1787			A1		1999	0816		ΑU	19	999-	2478	7			199	90:	128
	J 7488				B2			0613											
E:	P 1049	9699			A1		2000	1108		ΕP	19	999-	9043	82			199	90:	128
E.	P 1049	9699			В1		2004	0421											
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	SE	3, F	T,	ΙE,
		SI,	LT,	LV,	FΙ,	RO													
	R 9908						2000											90:	L28
J.	JP 2002501922						2002	0122										128	
N:	Z 5050	79			Α		2003	0829		ΝZ	19	999-!	5050	79			199	90:	L28
	Г 2648																	90:	L28
$\mathbf{z}_{i}$	A 9900	843			Α		2000	0802		ZA	19	99-	843				199	902	203
PRIORI'	TY API	PLN.	INFO	. :						US	19	998-	1473	4		Α	199	801	L28
														1		A			-
														2		Α		803	128
														0P					
														42					
										WO	19	99-1	JS18:	24		W	199	901	L28
CT.		*																	

The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un) substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkynyl, C3-10 alkynyl, AΒ etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated.

treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl) [1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

IT 234776-73-9P 234776-78-4P 234776-79-5P 234776-91-1P 234776-96-6P 234776-97-7P 234777-09-4P 234777-18-5P 234777-23-2P 234777-24-3P 234777-55-0P 234777-64-1P 234777-69-6P 234777-70-9P 234777-82-3P

234777-87-8P 234777-88-9P 234778-00-8P

234778-53-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

RN 234776-73-9 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 
 $Me$ 

RN 234776-78-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234776-79-5 CAPLUS

CN Propanenitrile, 3-[butyl[6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234776-91-1 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ & \text{Cl} & \text{Me} \\ & \text{Me} & \text{Me} \end{array}$$

RN 234776-96-6 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

RN 234776-97-7 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \text{Cl} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 234777-09-4 CAPLUS

CN Propanenitrile, 3-[[6-chloro-3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} n-Pr \\ N-CH_2-CH_2-CN \\ \hline \\ Cl \\ Me \\ N \\ \hline \\ Cl \\ \end{array}$$

RN 234777-18-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-23-2 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ & \text{Me} \\ & \text{N} \end{array}$$

RN 234777-24-3 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-36-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5,6trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} n-\Pr\\ & \\ N-CH_2-CH_2-CN \\ \hline\\ Me\\ & N \end{array}$$

RN 234777-42-5 CAPLUS

CN Propanenitrile, 3-[cyclopropy1[3-(4-methoxy-2-methylphenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$

Me

N

Me

N

Me

Me

Me

RN 234777-43-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5,6trimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N-CH_2-CH_2-CN \\ & & \\ Me & & \\ N & & \\ Me & & \\ N & & \\ & & \\ Me & & \\ N & & \\ \end{array}$$

RN 234777-55-0 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5,6-trimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{n-Pr} \\ & \text{N-CH}_2\text{-CH}_2\text{-CN} \\ \text{Me} & \text{N} & \text{Me} \\ & \text{N} & \text{Cl} \\ \end{array}$$

RN 234777-64-1 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N-CH_2-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CH_2-CN$ 
 $N-CN$ 
 $N-CN$ 
 $N-C$ 

RN 234777-69-6 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-70-9 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 234777-82-3 CAPLUS

CN Propanenitrile, 3-[[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 234777-87-8 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N-CH_2-CH_2-CN$ 
 $N-CH_2-CN$ 

RN 234777-88-9 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

RN 234778-00-8 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-6-fluoro-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N-Pr} \\
 & \text{N-CH}_2\text{-CH}_2\text{-CN} \\
\hline
 & \text{Me} \\
 & \text{N} \\
\end{array}$$

RN234778-53-1 CAPLUS

Butanenitrile, 4-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-CN a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)

$$N-Pr$$
 $N-(CH_2)_3-CN$ 
 $N$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:87733 CAPLUS

DOCUMENT NUMBER: 128:154103

TITLE: Preparation of azolotriazines and -pyrimidines as

corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Arvanitis, Argyrios Georgious; Chorvat, Robert John

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
						-									-			
WO	9803	510			A1		1998	0129		WO 1	997-	US13	072		1.	9970	723	
	W:	AM,	AU,	ΑZ,	BR,	BY,	CA,	CN,	CZ,	EE,	HU,	IL,	JP,	KG,	KR,	ΚZ,	LT,	
		LV,	MD,	MX,	NO,	ΝZ,	PL,	RO,	RU,	SG,	SI,	SK,	ТJ,	TM,	UA,	VN,	AM,	
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM									
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE
CA	CA 2259583 AA 19980129					CA 1997-2259583						19970723						
ΑŲ	9738	942			A1		1998	0210		AU 1	997-	3894	2		1	9970	723	
ΑU	7477	80			B2		2002	0523										
EP	9158	80			Al		1999	0519		EP 1	997-	9362	22		1:	9970	723	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
CN	1225	637			Α		1999	0811		CN 1	997-	1965	25		1	9970	723	

CN	1104432	В	20030402				
BR	9710544	Α	19990817	BR	1997-10544		19970723
US	6124289	A	20000926	US	1997-899242		19970723
JP	2002513382	T2	20020508	JP	1998-507233		19970723
EE	4316	B1	20040615	EE	1999-19		19970723
ZA	9706603	Α	19990125	ZA	1997-6603		19970724
$\mathtt{TW}$	542827	В	20030721	TW	1997-86110640		19970725
LV	12292	В	19991120	LV	1999-13		19990120
NO	9900264	Α	19990310	NO	1999-264		19990121
NO	315610	B1	20030929				
$_{ m LT}$	4680	В	20000725	LT	1999-8		19990125
CN	1327793	A	20011226	CN	2001-120849		20010530
AU	773039	B2	20040513	ΑU	2002-23236		20020312
CN	1388126	Α	20030101	CN	2002-118589		20020425
JP	2005097257	A2	20050414	JP	2004-216483		20040723
PRIORITY	Y APPLN. INFO.:			US	1996-23290P	P	19960724
				US	1996-686047	Α	19960724
				US	1997-899242	Α	19970723
				AU	1997-38942	<b>A3</b>	19970723
				JP	1998-507233	<b>A3</b>	19970723
				WO	1997-US13072	W	19970723

OTHER SOURCE(S): MARPAT 128:154103

The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POC13 and N,N-dimethylaniline followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxypropyl-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

IT 202579-61-1P 202579-67-7P 202579-71-3P 202579-72-4P 202579-85-9P 202579-89-3P 202579-90-6P 202580-50-5P 202580-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-61-1 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-

a]pyrimidin-7-yl]propylamino] - (9CI) (CA INDEX NAME)

RN 202579-67-7 CAPLUS

CN Propanenitrile, 3-[[3-(4-chloro-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

RN 202579-71-3 CAPLUS

CN Propanenitrile, 3-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-72-4 CAPLUS

CN Propanenitrile, 3-[butyl[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202579-85-9 CAPLUS

CN Propanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 202579-89-3 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$N-CH_2-CH_2-CN$$
 $N$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

RN 202579-90-6 CAPLUS

CN Propanenitrile, 3-[[3-(2-chloro-4-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]cyclopropylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N - CH_2 - CH_2 - CN \\ \hline & & & \\ N & & & \\ Me & & & \\ Me & & & \\ & & & \\ Me & & & \\ \end{array}$$

RN 202580-50-5 CAPLUS

CN Propanenitrile, 3-[cyclopropyl[3-(4-methoxy-2,3-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202580-60-7 CAPLUS

CN Butanenitrile, 4-[[3-(2,4-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

$$n-Pr$$
 $N-(CH_2)_3-CN$ 
 $Me$ 
 $N$ 
 $Me$ 
 $Me$ 

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:75800 CAPLUS

DOCUMENT NUMBER: 64:75800

ORIGINAL REFERENCE NO.: 64:14196h,14197a-b

TITLE: 7-Substituted carbonylaminopyrazolo[1,5-

 $\alpha$ ] pyrimidine derivatives

INVENTOR(S):

Takamizawa, Akira; Hamashima, Yoshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd.

SOURCE: DOCUMENT TYPE: 3 pp. Patent

Unavailable

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 41001864	B4	19660209	JP	19630907
PRIORITY APPLN. INFO.:			JP	19630907
GI For diagram(s), see	e printe	ed CA Issue.		

Manufacture of I, useful as tranquilizer and antiinflammatory agents, is AΒ described. Thus, a mixture of 1 g. 2,3-dimethyl-7-aminopyrazolo[1,5a]pyrimidine and 0.67 g. ClCO2Et in 20 ml. Me2CO is heated at 100° for 4 hrs. in a sealed tube, cooled, filtered, the filtrate extracted with CHCl3, and the extract concentrated to give 0.83 g. I (R1 = R2 = Me, R3 = H,

R4 =

OEt), columns, m. 113° (Et2O); hydrochloride m. 188°. Similarly prepared are the following I (R1, R2, R3, R4, and m.p. given): Me, Me, H, NMe2, 163° (hydrochloride m. 210-13°); Me, Me, H, morpholino, -- (hydrochloride m. 208°); Me, Me, H, piperidino, 136°; Me, Ph, Me, OEt, 148-9°; Me, Ph, Me, NMe2, 148-50°; Bz, Me, Me, OEt, 92°; Me, Ph, Me, SEt, 105°; Bz, Me, Me, SEt, 98-9°; Bz, Me, Me, NMe2, 137-8°; Bz, Me, Me, piperidino, 118-19°.

**5299-74-1**, Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]-pyrimidin-IT7-yl)-1,1-dimethyl-(preparation of)

RN5299-74-1 CAPLUS

Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1,1-dimethyl-CN(7CI, 8CI) (CA INDEX NAME)